

IN THE UNITED STATES PATENT AND TRADEMARK OFFICE

In Re Application of:

Frans E. Janssens, et al.

Confirmation No.: 6412

Application No.: 10/540,304

Group Art Unit: 1624

Filing Date: June 21, 2005

Examiner: Emily B. Bernhardt

**For: SUBSTITUTED 1-PIPERIDIN-4-YL-4-AZETIDIN-3-YL-PIPERAZINE
DERIVATIVES AND THEIR USE AS NEUROKININ ANTAGONISTS**

ELECTRONICALLY FILED
DATE OF DEPOSIT: June 1, 2007

Mail Stop Amendment
Commissioner for Patents
P.O. Box 1450
Alexandria, VA 22313-1450

Dear Sir:

INFORMATION DISCLOSURE STATEMENT

Pursuant to 37 CFR § 1.56 and in accordance with 37 CFR §§ 1.97-1.98, information relating to the above-identified application is hereby disclosed. Inclusion of information in this statement is not to be construed as an admission that this information is material as that term is defined in 37 CFR § 1.56(b).

- In accordance with § 1.97(b), since this Information Disclosure Statement is being filed either within three months of the filing date of the above-identified application, within three months of the date of entry into the national stage of the above identified application as set forth in § 1.491, before the mailing date of a first Office Action on the merits of the above-identified application, or

before the mailing date of a first Office Action after the filing of request for continued examination under § 1.114, no additional fee is required.

- Copies of reference numbers **4-51** listed on the attached Form PTO-1449 are enclosed herewith.
- Copies of reference numbers **1-3** on the attached Form PTO 1449 are not required to be submitted pursuant to 37 CFR § 1.98(a)(2)(ii).
- The relevance of those listed references which are not in the English language is as follows:

U.S. Patent No. 5,310,743 (Reference number 1) is an English language equivalent for EP 0 532 456 A1 (Reference No. 8).

Please charge any deficiency or credit any overpayment to Deposit Account No. 23-3050.

Date: June 1, 2007

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Form PTO-1449 Modified		Docket No. JANS-0076/JAB1730f	Application No. 10/540,304
List of Patent and Publications Cited by Applicant (Use several sheets if necessary)		Applicant Frans E. Janssens, et al.	
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U. S. PATENT DOCUMENTS

Examiner Initial		Document No.	Date	Name	Class	Subclass
	1	5,310,743	05/10/94	Schilling et al.	514	311
	2	5,541,195	07/30/96	Schilling et al.	514	311
	3	5,646,144	07/08/97	Schilling et al.	514	241

FOREIGN PATENT DOCUMENTS

Examiner Initial		Document No.	Date	Country	Translation	
					YES	NO
	4	01/30348 A1	05/03/01	WO	X	
	5	02/062784 A1	08/15/02	WO	X	
	6	02/32867 A1	04/25/02	WO	X	
	7	97/16440 A1	05/09/97	WO	X	
	8	0 532 456 A1	03/17/93	EP		X

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NON-PATENT DOCUMENTS (Including Author, Title, Date, Pertinent Pages, Etc.)

	9	Aguiar, M. S. et al., "Effects of microinjections of the neuropeptide substance P in the dorsal periaqueductal gray on the behaviour of rats in the plus-maze test," <i>Physiol. Behav.</i> , 1996, 60, 1183-1186
	10	Antiemetic Subcommittee, "Prevention of chemotherapy- and radiotherapy-induced emesis: results of the Perugia Consensus Conference. Antiemetic Subcommittee of the Multinational Association of Supportive Care in Cancer (MASCC)," <i>Annals Oncol.</i> , 1998, 9(8), 811-819
	11	Arvanitis, L., "Efficacy and Tolerability of Four Novel Compounds in Schizophrenia: Results of the Metatrial Project," <i>ACNP Meeting</i> , December 10, 2001, Abstract 144, p. 178
	12	Ballard, T. M. et al., "Inhibition of shock-induced foot tapping behaviour in the gerbil by a tachykinin NK ₁ receptor antagonist," <i>Eur. J. Pharmacol.</i> , Feb. 2001, 412(3), 255-264
	13	Bertand, C. et al., "Tachykinin and kinin receptor antagonists: therapeutic perspectives in allergic airway disease," <i>Trends Pharmacol. Sci.</i> , 1996, 17(7), 255-259
	14	Brodin, E. et al., "Effects of sequential removal of rats from a group cage, and of individual housing of rats, on substance P, cholecystokinin and somatostatin levels in the periaqueductal grey and limbic regions," <i>Neuropeptides</i> , Apr. 1994, 26(4), 253-260
	15	Campos et al., "Prevention of cisplatin-induced emesis by the oral neurokinin-1 antagonist, MK-869, in combination with granisetron and dexamethasone or with dexamethasone alone," <i>J. Clin. Oncol.</i> , 2001, 19, 1759-1767
	16	Cocquyt, V. et al., "Comparison of L-758,298, a prodrug for the selective neurokinin-1 antagonist, L-754,030, with ondansetron for the prevention of cisplatin-induced emesis," <i>Eur. J. Cancer</i> , May 2001, 37(7), 835-842
	17	Culman, J. et al., "Central tachykinins: mediators of defence reaction and stress reactions," <i>Can. J. Physiol. Pharmacol.</i> , 1995, 73(7), 885-891

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18	DeMulder et al., "Ondansetron compared with high-dose metoclopramide in prophylaxis of acute and delayed cisplatin-induced nausea and vomiting. A multicenter, randomized, double-blind, crossover study," <i>Annals of Internal Medicine</i> , 1990, 113, 834-840
19	Elliott, P.J., "Place aversion induced by the substance P analogue, dimethyl-C7, is not state dependent: implication of substance P in aversion," <i>Exp. Brain Res.</i> 1988, 73(2), 354-356
20	Giardina, G. et al., "Recent Advances in neurokinin-3 receptor antagonists," <i>Exp. Opin. Ther. Patents</i> , 2000, 10(6), 939-960
21	Hesketh et al., "Proposal for classifying the acute emetogenicity of cancer chemotherapy," <i>J. Clin. Oncol.</i> , 1997, 15(1), 103-109
22	Hesketh et al., "Randomized phase II study of the neurokinin 1 receptor antagonist CJ-11,974 in the control of cisplatin-induced emesis," <i>J. Clin. Oncol.</i> , 1999, 17, 338-343
23	Kramer, M. S. et al., "Distinct mechanism for antidepressant activity by blockade of central substance P receptors," <i>Science</i> , 1998, 281(5383), 1640-1645
24	Krase et al., "Substance P is involved in the sensitization of the acoustic startle response by footshocks in rats," <i>Behav. Brain. Res.</i> , 1994, 63, 81-88
25	Kris et al., "Incidence, course, and severity of delayed nausea and vomiting following the administration of high-dose cisplatin," <i>J. Clin. Oncol.</i> , 1985, 3, 1379-1384
26	Lejeune, F. et al., "Selective, non-peptidergic Neurokinin ₁ (NIK ₁) Antagonists Enhance the Activity of Frontocortical Dopaminergic and Adrenergic, but not Serotonergic, Pathways in Rats," <i>Abstracts Soc. Neurosci.</i> , Abstract No. 477.1, November 2001, p. 1253
27	Longmore, J. et al., "Neurokinin Receptors," <i>DN&P</i> , 1995, 8(1), 5-23
28	Lundberg, J. M., "Tachykinins, sensory nerves, and asthma--an overview," <i>Can. J. Physiol. Pharmacol.</i> , 1995, 73(7), 908-914
29	Maggi, C. A. et al., "The dual nature of the tachykinin NK ₁ receptor," <i>Trends Pharmacol. Sci.</i> , 1997, 18(10), 351-355

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30	Maggi, C. A., "The mammalian tachykinin receptors," <i>Gen. Pharmacol.</i> , 1995, 26(5), 911-944
31	Mattson, R. J. et al., "An Improved Method for Reductive Alkylation of Amines Using Titanium (IV) Isopropoxide and Sodium Cyanoborohydride," <i>J. Org. Chem.</i> , 1990, 55, 2552-2554
32	Megens, A. A. et al., "Pharmacological profile of (2R-trans)-4-[1-[3,5-bis(trifluoromethyl)benzoyl]-2-(phenylmethyl)-4-piperidinyl]-N-(2,6-dimethylphenyl)-1-acetamide (S)-Hydroxybutanedioate (R116301), an orally and centrally active neurokinin-1 receptor antagonist," <i>J. Pharmacol. Exp. Ther.</i> , 2002, 302(2), 696-709
33	Navari, R. M. et al., "Reduction of cisplatin-induced emesis by a selective neurokinin-1-receptor antagonist. L-754,030 Antiemetic Trials Group," <i>N. Engl. J. Med.</i> , 1999, 340(3), 190-195
34	Naylor, R. J. et al., "Emesis and anti-emesis," <i>Cancer Surv.</i> , 1994, 21, 117-135
35	Okano, S. et al., "Effects of TAK-637, a novel neurokinin-1 receptor antagonist, on colonic function in vivo," <i>J. Pharmacol. Exp. Ther.</i> , 2001, 298(2), 559-564
36	Picdimonte, G. et al., "A new NK ₁ receptor antagonist (CP-99,994) prevents the increase in tracheal vascular permeability produced by hypertonic saline," <i>J. Pharmacol. Exp. Ther.</i> , 1993, 266, 270-273
37	Regoli, D. et al., "Receptors and antagonists for substance P and related peptides," <i>Pharmacol. Rev.</i> , 1994, 46(4), 551-599
38	Roila, F. "Ondansetron plus dexamethasone compared to the 'standard' metoclopramide combination," <i>Oncology</i> , 1993, 50(3), 163-167
39	Rudd, J. A. et al., "Effects of 5-HT ₃ receptor antagonists on models of acute and delayed emesis induced by cisplatin in the ferret," <i>Neuropharmacology</i> , 1994, 33(12), 1607-1608
40	Rudd, J. A. et al., "The action of the NK ₁ tachykinin receptor antagonist, CP 99,994, in antagonizing the acute and delayed emesis induced by cisplatin in the ferret," <i>Br. J. Pharmacol.</i> , 1994, 119(5), 931-936

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	41	Rupniak, N. M. et al., "Discovery of the antidepressant and anti-emetic efficacy of substance P receptor (NK1) antagonists," <i>Trends Pharmacol. Sci.</i> , 1999, 20(12), 485-490	
	42	Sam, T. S. et al., "Action of glucocorticoids to antagonise cisplatin-induced acute and delayed emesis in the ferret," <i>Eur. J. Pharmacol.</i> , 2001, 417(3), 231-237	
	43	Shirayama, Y. et al., "Reduction of substance P after chronic antidepressants treatment in the striatum, substantia nigra and amygdala of the rat," <i>Brain Res.</i> , 1996, 739(1-2), 70-78	
	44	Stella, V. J. et al., "Prodrugs. Do they have advantages in clinical practice?" <i>Drugs</i> , 1985, 29, 455-473	
	45	Stella, V. J. et al., "Prodrugs", <i>Drug Delivery Systems</i> , 1985, pp. 112-176	
	46	Tattersall, F. D. et al., "Tachykinin NK ₁ receptor antagonists act centrally to inhibit emesis induced by the chemotherapeutic agent cisplatin in ferrets," <i>Neuropharmacol.</i> , 1996, 35(8), 1121-1129	
	47	Tattersall, F. D. et al., "The novel NK ₁ receptor antagonist MK-0869 (L-754,030) and its water soluble phosphoryl prodrug, L-758,298, inhibit acute and delayed cisplatin-induced emesis in ferrets," <i>Neuropharmacology</i> , 2000, 39(4), 652-663	
	48	Teixeira, R. M. et al., "Effects of central administration of tachykinin receptor agonists and antagonists on plus-maze behavior in mice," <i>Eur. J. Pharmacol.</i> , 1996, 311, 7-14	
	49	Tonini, M. et al., "Tachykinin-dependent and -independent components of peristalsis in the guinea pig isolated distal colon," <i>Gastroenterol.</i> , 2001, 120, 938-945	
	50	Watson, J. W. et al., "The anti-emetic effects of CP-99,994 in the ferret and the dog: role of the NK ₁ receptor," <i>Br. J. Pharmacol.</i> , 1995, 115, 84-94	
	51	Wilson, C. O. et al., (Ed.), <i>Textbook of Organic Medicinal and Pharmaceutical Chemistry</i> , Seventh Edition, 1977, J. B. Lippincott Company, pp. 70-75	

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